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(54) Title: TEMPLATE FIXED BETA-HAIRPIN LOOP MIMETICS AND THEIR USE IN PHAGE DISPLAY

(57) Abstract: Template-fixed β -hairpin mimetics of the general formula R^1 -Cys-Z-Cys- R^2 (I) wherein the two Cys residues are bridged by a disulfide bond thereby forming a cyclic peptide; R^1 and R^2 are preferably Glu-Thr and Thr-Lys; or Lys-Thr and Thr-Glu; or Thr-Glu and Lys-Thr; or Thr-Lys and Glu-Thr; or Leu-Glu and Lys-Val; or Val-Lys and Glu-Leu; or Glu-Leu and Val-Lys; or Lys-Leu and Val-Glu; or Asn-Gly and Lys-Val; or Val-Gly and Lys-Asn; or Gly-Asn and Val-Lys; or Gly-Val and Asn-Lys; or Gly-Gly and Gly-Gly; or Glu-Leu-Lys and Glu-Val-Lys; or Lys-Val-Glu and Lys-Leu-Glu; or Leu-Glu-Lys and Glu-Lys-Val; or Val-Lys-Glu and Lys-Glu-Leu; or Glu-Lys-Leu and Val-Glu-Lys; or Lys-Glu-Val and Leu-Lys-Glu; or Lys-Glu-Leu and Val-Lys-Glu; or Glu-Lys-Val and Leu-Glu-Lys; or Lys-Val-Gly and Gly-Leu-Glu; or Glu-Leu-Gly and Gly-Val-Lys; or Val-Lys-Gly and Gly-Glu-Leu; or Leu-Glu-Gly and Gly-Lys-Val; or Val-Gly-Lys and Glu-Gly-Leu; or Leu-Gly-Glu and Lys-Gly-Val; or Gly-Gly-Gly and Gly-Gly-Gly; and Z is a chain of n amino acid residues with n being an integer from 4 to 20 and with each of these n amino acid residues being, independently, derived from any naturally occurring L- α -amino acid are provided. Libraries comprising a plurality of these templates can be used for the construction of phage display derived template-fixed β -hairpin mimetics generating phage display libraries with very high binding constants to targets, thus combining the advantage of screening of large phage display derived template-fixed β -hairpin libraries which in turn considerably facilitates structure-activity studies, and hence the discovery of new molecules with potent activities and with novel selectivities towards different types of targets.

WO 2005/047503 A1